#### => d bib abs hitstr 20

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L15 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2000 ACS
    1995:896112 CAPLUS
DN
     123:314540
ΤI
    Preparation of heterocyclylpeptides and related compounds as inhibitors
of
     thrombocyte aggregation, cancer cell metastasis, and binding of
     osteoclasts to bone surfaces.
ΙN
     Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd; Just, Melitta;
    Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang; Stilz, Hans Ulrich
PΑ
    Cassella AG, Germany
    Ger. Offen., 19 pp.
    CODEN: GWXXBX
DΤ
    Patent
    German
LA
FAN.CNT 2
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
    DE 4338944
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                           19950518
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                     A1
                           19960215
                                         DE 1994-4427979 19940808
    WO 9514008
                     A1
                           19950526
                                         WO 1994-EP3491
                                                          19941024
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        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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    AU 9479397
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                           19950606
                                         AU 1994-79397
                                                          19941024
    AU 693811
                           19980709
                      B2
    EP 729460
                           19960904
                                         EP 1994-930215 19941024
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
    CN 1134696
                           19961030
                                         CN 1994-194043
                      Α
                                                          19941024
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                     A2 19970228
                                         HU 1996-1300
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    JP 09505062
                      T2 19970520
                                         JP 1994-514176
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    ZA 9409017
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                                                          19941114
    FI 9602043
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                                         US 1996-640895
                     Α
                                                          19960719
PRAI DE 1993-4338944 19931115
    DE 1994-4427979 19940808
    WO 1994-EP3491
                     19941024
OS
    MARPAT 123:314540
GΙ
```

Searched by John Dantzman

Title compds. [I; W = R1ACR13, R1ACH:C; Y = CO, CS, CH2; Z = NR, O, S, AB CH2; A = (cyclo)alkylene, phenylene, phenylenealkyl, phenylenealkenyl, (substituted) divalent N-contq. heterocycle; B = alkylene, alkenylene, phenylene, phenylenealkyl; D = CR2R3, CHCR3; E = tetrazolyl, (R80)2PO, HO3S, R9NHSO2, R10CO; R = H, alkyl, cycloalkyl, (substituted) aryl, aralkyl; R1 = XNHC(:NH)(CH2)p,  $X\bar{1}NH(C\bar{H}2)p$ ; p = 0-3; X = H, alkyl, alkylcarbonyl, alkoxycarbonyl, cyano, OH, amino, (substituted) arylcarbonyl, etc.; X1 = X, R'NHC(:NR''); R', R'' = X; R2 = H, alkyl, (substituted) aryl, aralkyl, cycloalkyl; R3 = R2, alkenyl, alkynyl, alkenylcarbonyl, alkynylcarbonyl, pyridyl, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl; R9 = H, aminocarbonyl, alkylaminocarbonyl, alkyl, (substituted) aryl, cycloalkyl; R10 = OH, alkoxy, (substituted) arylalkoxy, aryloxy, amino; R13 = H, alkyl, (substituted) aralkyl, cycloalkyl; b, c, d, f = 0, 1; e, g, h = 0-6], were prepd. as inhibitors of cell-cell adhesion dependent on the interaction of Arg-Gly-Asp contq. proteins and other adhesive proteins (no data). Thus, [[(S,R)-4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1yl]acetylaspartylphenylqlycine was prepd. by soln. phase methods.

1T 169807-87-8P 169807-88-9P 169807-89-0P 169807-90-3P 169807-91-4P 169807-92-5P 169807-93-6P 169807-94-7P 169807-95-8P 169807-96-9P 169807-97-0P 169807-98-1P 169807-99-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclylpeptides and related compds. as inhibitors of thrombocyte aggregation, cancer cell metastasis, and binding of osteoclasts to bone surfaces)

RN 169807-87-8 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169807-88-9 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 169807-89-0 CAPLUS

CN Glycine,

N-[N-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169807-90-3 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX

NAME)

RN169807-91-4 CAPLUS

Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-CN imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

169807-92-5 CAPLUS RN

L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-CN imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 169807-93-6 CAPLUS
CN Glycine, N-[[4-[4-(aminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
  $S$   $NH_2$   $NH_2$   $NH_2$   $NH_3$   $NH_4$   $NH_4$   $NH_5$   $NH_6$   $NH$ 

RN. 169807-95-8 CAPLUS

CN Glycine, N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169807-96-9 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl)

ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 169807-97-0 CAPLUS

CN Glycine,

N-[N-[[4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169807-98-1 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, methyl ester, monohydrochloride

(9CI) (CA INDEX NAME)

#### **HCl**

169807-99-2 CAPLUS RN

Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-CN 2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \text{NH} \\ & \text{NH} \\ & \text{C-NH}_2 \\ & \text{NH} \\ & \text{C-NH}_2 \\ & \text{NH} \\ & \text{C-NH}_2 \\ & \text{HO}_2\text{C-CH}_2\text{-CH-NH-C-CH}_2 \\ \end{array}$$

#### HC1

169808-02-0P 169808-03-1P 169808-05-3P ΙT 169808-10-0P 169808-22-4P 169808-23-5P

169808-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heterocyclylpeptides and related compds. as inhibitors of thrombocyte aggregation, cancer cell metastasis, and binding of osteoclasts to bone surfaces)

RN 169808-02-0 CAPLUS

Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-CN imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

HC1

RN 169808-03-1 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 169808-05-3 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

#### ● 2 HCl

RN 169808-10-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### • HCl

RN 169808-22-4 CAPLUS

CN Glycine,

 $N-[N-[\bar{4}-[4-[[(1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-$ 

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

RN 169808-23-5 CAPLUS

CN L-Alanine, 3-[[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169808-24-6 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-B

\_\_ Ph

### => d bib abs hitstr 22

L15 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2000 ACS 1994:580230 CAPLUS AN

121:180230 DN

Preparation of phenylimidazolidine derivatives as cell adhesion ΤI inhibitors

Zoller, Gerhard; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; ΙN Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang

Cassella AG, Germany PΑ

Eur. Pat. Appl., 22 pp. SO CODEN: EPXXDW

DTPatent

German LA

FAN.CNT 1

TAN. CNI				
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	EP 580008	A2 19940126	EP 1993-110754	19930706
	EP 580008	A3 19950315		
	EP 580008	B1 19980708		
	R: AT, BE,	CH, DE, DK, ES,	FR, GB, IT, LI, NL, SE	
	DE 4224414	A1 19940127	DE 1992-4224414	19920724
	JP 07025855	A2 19950127	JP 1993-163042	19930701
	AT 168116	E 19980715	AT 1993-110754	19930706
	ES 2119837	ТЗ 19981016	ES 1993-110754	19930706
	US 5424293	A 19950613	US 1993-95905	19930722
	CA 2101179	AA 19940125	CA 1993-2101179	19930723
	AU 9342119	A1 19940127	AU 1993-42119	19930723
	AU 664630	B2 19951123		
	ZA 9305341	A 19940214	ZA 1993-5341	19930723
	HU 65141	A2 19940428	HU 1993-2138	19930723
	IL 106462	A1 19970218	IL 1993-106462	19930723
PRAT	DE 1992-4224414	19920724		

PRAI DE 1992-4224414

MARPAT 121:180230 OS

GI

Y

Title. compds. [I; R, R2 = H, alkyl; R1 = C6H4(CH2)nNHX, C6H4(CH2)pC(:NH)NHX1; R3 = H, (substituted)Ph; R4 = H, CO2H, alkoxycarbonyl. CONH2, etc.; W = OH, alkoxy, NH2, etc.; X = AΒ (substituted) C(:NH) NH2; X,X1 = H, alkyl, alkanoyl, alkoxycarbonyl, etc.;

I .

= (CH2)mCO, C6H4CO; Z = O, S; m = 1-4; n,p,r = 0-3] were prepd. Thus, Searched by John Dantzman

 $4-(\rm{H2N})\,\rm{C6H4CH}\,\rm{(NH2)}\,\rm{CO2Me}\,.\,\rm{HCl}$  was converted in 5 steps to  $[5-(4-\rm{guanidinophenyl})-2,4-\rm{dioxoimidazolidin-3-yl}]$  acetyl-L-aspartyl-L-phenylglycine which had IC50 of 0.2 and 0.07.mu.M against ADP- and thrombin-induced thrombocyte aggregation, resp.

IT 157550-19-1P 157550-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of cell adhesion inhibitor)

RN 157550-19-1 CAPLUS

CN Glycine, N-[N-[[4-[4-[[imino(nitroamino)methyl]amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 157550-25-9 CAPLUS

CN Glycine,

N-[N-[[4-[4-[((1,1-dimethylethoxy)carbonyl]amino]methyl]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 157549-93-4P 157549-94-5P 157549-95-6P 157549-96-7P 157549-97-8P 157549-98-9P 157549-99-0P 157550-00-0P 157550-01-1P 157550-03-3P 157550-04-4P 157550-05-5P 157550-06-6P 157550-07-7P 157550-08-8P 157550-12-4P 157550-13-5P 157550-14-6P 157550-15-7P RL: SPN (Synthetic preparation): PREP (Pre

RL: SPN (Synthetic preparation); PREP (Preparation)
Searched by John Dantzman 308-4488

(prepn. of, as cell adhesion inhibitor)

RN 157549-93-4 CAPLUS

CN Glycine, N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157549-94-5 CAPLUS

CN Glycine, N-[[4-[3-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157549-95-6 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminomethyl)phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157549-96-7 CAPLUS

CN Glycine, N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-D-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

Searched by John Dantzman 308-4488

Absolute stereochemistry.

RN 157549-97-8 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

RN 157549-98-9 CAPLUS

CN Glycine, N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl)

ester, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

Searched by John Dantzman

RN 157549-99-0 CAPLUS

CN Glycine, N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 157550-00-0 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino[(methoxycarbonyl)amino]methyl](methoxycarbony)]

RN 157550-01-1 CAPLUS

CN Glycine,

N-[N-[[4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(2-methylpropyl) ester (9CI) (CA INDEX NAME)

RN 157550-03-3 CAPLUS

CN Glycine, N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(2-methylpropyl) ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 157550-02-2 CMF C32 H41 N7 O8 CDES 5:L,L

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 157550-04-4 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino](phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

RN 157550-05-5 CAPLUS

CN Glycine, N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

### ● HCl

RN 157550-06-6 CAPLUS

CN Glycine,

N-[N-[[4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-(9CI) (CA INDEX NAME)

RN 157550-07-7 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino][(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Searched by John Dantzman

RN 157550-08-8 CAPLUS

CN Glycine, N-[N-[[4-[4-[[imino[(methoxycarbonyl)amino]methyl]amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-(9CI) (CA INDEX NAME)

RN 157550-09-9 CAPLUS

CN Glycine, N-[N-[[4-[4-[[imino[(methoxycarbonyl)amino]methyl]amino]phenyl]-2,5-dioxo-l-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

RN 157550-10-2 CAPLUS

CN Glycine, N-[N-[[4-[4-[(imino[(methoxycarbonyl)amino]methyl]amino]phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, 1-hexadecyl 4-(1-methylethyl) ester (9CI) (CA INDEX NAME)

Searched by John Dantzman

RN 157550-11-3 CAPLUS
CN Glycine,
N-[N-[[4-[4-[(acetylamino)iminomethyl]amino]phenyl]-2,5-dioxo-1 imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-,
bis(1-methylethyl)
 ester (9CI) (CA INDEX NAME)

### • HCl

RN 157550-14-6 CAPLUS

ester (9CI) (CA INDEX NAME)

RN 157550-15-7 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminomethyl)phenyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-alpha.-aspartyl]-L-2-phenyl-,
1-[2-[2-[2-(2-hydroxyethoxy)ethoxy]ethoxy]ethyl] 4-(1-methylethyl) ester,
monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

HC1

PAGE 1-B

-- СН $_2--$  ОН

IT 157549-97-8

RL: RCT (Reactant)

(reaction of, in prepn. of cell adhesion inhibitor)

RN 157549-97-8 CAPLUS

CN Glycine,

N-[N-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

QAZI 08/971960 Page 1

#### => d bib abs hitstr 14

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L15 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2000 ACS
AN
    1997:515846 CAPLUS
DN
    127:131010
TI
    Agents for promoting bone formation
    Kitamura, Kazuyuki; Komiyama, Osamu; Inazu, Mizuho; Baron, Roland; Gadek,
ΙN
    Thomas; Knolle, Jochen; Stilz, Han-Ulrich; Wehner, Volkmar; Mcdowell,
     Robert S.
     Roussel-UCLAF, Fr.; Kitamura, Kazuyuki; Komiyama, Osamu; Inazu, Mizuho;
PA
    Baron, Roland; Gadek, Thomas; Knolle, Jochen; Stilz, Han-Ulrich; Wehner,
    Volkmar; Mcdowell, Robert S.
    PCT Int. Appl., 52 pp.
SO
    CODEN: PIXXD2
DT
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    English
LA
FAN.CNT 1
                 KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
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    WO 9721726 A1 19970619
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                                        WO 1996-EP5380 19961206
        W: CA, IL, JP, MX, US
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
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     JP 09157181
                                                          19951208
    CA 2236335
                      AA
                           19970619
                                         CA 1996-2236335 19961206
                                        EP 1996-942315 19961206
    EP 865446
                           19980923
                     A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
PRAI JP 1995-345057
                     19951208
    WO 1996-EP5380
                    19961206
OS
    MARPAT 127:131010
AΒ
    The object is to provide a promoting agent for bone formation, a
     therapeutic method for bone formation and a process for prepg. a
     agent for bone formation. A promoting agent for bone formation which
     comprises at least one of kistrin, echstatin, a peptide or polypeptide
    contg. the amino acid sequence ArgGlyAsp in the mol. such as a peptide
    represented by Gly-Arg-Gly-Asp-Ser, and other compds. as well as a biol.
    acceptable salt thereof.
ΙT
    192710-67-1
    RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (agents for promoting bone formation)
RN
    192710-67-1 CAPLUS
    L-Alanine, 3-[[(4S)-4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-
     imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA
INDEX
    NAME)
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08/971960

#### => d bib abs hitstr 15

L15 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1997:16542 CAPLUS

DN 126:118187

TI From peptides to heterocyclic peptide mimetics - design and synthesis of an orally active fibrinogen receptor antagonist for the prevention of thrombosis

AU Stilz, H.U.; Beck, G.; Jablonka, B.; Just, M.

CS Central Pharma Research, Frankfurt am Main, D-65926, Germany

SO Bull. Soc. Chim. Belg. (1996), 105(10-11), 711-719 CODEN: BSCBAG; ISSN: 0037-9646

PB Societe Chimique Belges

DT Journal

LA English

GI

Ι

$$H_2N$$
 $H_2N$ 
 $H_2N$ 

$$H_2N$$
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_2N$ 
 $H_3CCO_2$ 
 $H_3CCO_2$ 

AB The binding of fibrinogen to the platelet fibrinogen receptor (GP IIb/IIIa  $\,$ 

receptor) depends on an Arg-Gly-Asp-Ser (RGDS) tetrapeptide recognition motif. Structural modifications of the RGDS tetrapeptide structure has led to the discovery of a non-peptide RGD mimetic GP IIb/IIIa antagonist

Ι

ΙΙ

(S-1197). I inhibited dose-dependently and reversibly human platelet aggregation. In conscious dogs, II (S-5740) showed a high plasma availability of the active moiety of 42.+-.8% (n = 4) and a plasma half life of 9.9 h after oral administration as measured by bioassay. II may potentially be used for chronic treatment and prophylaxis of thrombotic diseases in humans. A pilot plant synthesis of II was developed.

IT 177563-39-2P 177563-41-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of heterocyclic peptide mimetics as orally active fibrinogen Searched by John Dantzman 308-4488 receptor antagonists for prevention of thrombosis)

RN 177563-39-2 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride, [S-(R\*,R\*)]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### • HCl

RN 177563-41-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[(4S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, (.beta.S)-,

monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 177563-40-5 CMF C24 H27 N5 O5

Absolute stereochemistry. Rotation (-).

Searched by John Dantzman

CM 2

CRN 64-19-7 CMF C2 H4 O2

## IT 186183-13-1P 186183-15-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heterocyclic peptide mimetics as orally active fibrinogen receptor antagonists for prevention of thrombosis)

RN 186183-13-1 CAPLUS

CN Benzenepropanoic acid,

Absolute stereochemistry.

RN 186183-15-3 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-(4-cyanophenyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

#### => d bib abs hitstr 16

```
ANSWER 16 OF 28 CAPLUS COPYRIGHT 2000 ACS
    1997:5805 CAPLUS
ΑN
    126:31350
DN
    Preparation of dioxoimidazolidinylacetates and analogs as pharmaceutical
TΙ
    intermediates
    Zoller, Gerhard; Klingler, Omar; Knolle, Jochen; Stilz, Hans Ulrich;
ΤN
    Wehner, Volkmar
    Cassella Ag, Germany
PΑ
SO
    Ger. Offen., 25 pp.
    CODEN: GWXXBX
DT
    Patent
LA
    German
FAN.CNT 1
    PATENT NO.
                     KIND
                           DATE
                                         APPLICATION NO. DATE
                     ----
                           19961031
                                         DE 1995-19515177 19950428
PΙ
    DE 19515177
                      A 1
    WO 9633976
                      A1
                           19961031
                                         WO 1996-EP1572 19960415
        W: CA, JP, US
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
SE
                                    CA 1996-2219359 19960415
                    AA
                           19961031
    CA 2219359
                      A1
                           19980218
                                        EP 1996-914914 19960415
    EP 823898
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                         JP 1996-532132
                      Т2
                           19990406
    JP 11504024
                                                          19960415
    US 5939556
                           19990817
                                         US 1997-952322
                                                          19971027
                      Α
PRAI DE 1995-19515177 19950428
    WO 1996-EP1572
                     19960415
OS
    MARPAT 126:31350
```

Ι

GI

AB Title compds. [I; R = cyano, alkoxyiminomethyl, C(:NH)NHR6, CH2NHR6, etc.;

R1 = (cyclo)alkyl, (un)substituted aralkyl; R2 = H, (cyclo)alkyl, (un)substituted aryl(alkyl); R3 = H, CH2CO2R4; R4 = H, alkyl, aryl(alkyl).

etc.] were prepd. Thus, 4-BrC6H4COMe was cyclocondensed with KCN/(NH4)2CO3 to give I (R = Br, R1 = Me, R2 = R3 = H) which was converted

in 4 steps to (S)-4-BrC6H4CMe(NH2)CO2Et. The latter was amidated by OCNCH2CO2Et and the product cyclized to give, after cyanation, (S)-I (R = cyano, R1 = Me, R2 = R3 = H).

Searched by John Dantzman 308-4488

## IT 184427-31-4P 184427-32-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of dioxoimidazolidinylacetates and analogs as pharmaceutical intermediates)

RN 184427-31-4 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester,

monohydrochloride,
[S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

### • HCl

RN 184427-32-5 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-(4-cyanophenyl)-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, monohydrochloride, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

### HC1

Searched by John Dantzman

# => d bib abs hitstr 17

- L15 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2000 ACS
- AN 1996:280841 CAPLUS
- DN 125:25635
- TI Discovery of an Orally Active Non-Peptide Fibrinogen Receptor Antagonist
- AU Stilz, Hans Ulrich; Jablonka, Bernd; Just, Melitta; Knolle, Jochen; Paulus, Erich Friedrich; Zoller, Gerhard
- CS Hoechst AG, Frankfurt/Main, D-65926, Germany
- SO J. Med. Chem. (1996), 39(11), 2118-2122 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- AB The discovery of the potent GPIIb/IIIa antagonist S 1197 and of the resp. ester prodrug S 5740 is reported. S 1197, a non-peptide RGD mimetic, was derived from the initial Arg-Gly-Asp-Ser tetrapeptide lead by the discovery of the hydantoin moiety to conformationally constrain the

#### linear

peptide chain, by the observation that the exchange of the Asp-Ser moiety at the carboxyl-terminus with an Asp-Phg moiety considerably improves the potency of compds., and by the finding that the introduction of a .beta. amino acid at the carboxyl-terminus in place of the Asp-Phg moiety improves the oral activity. Compd. S 1197 inhibited dose-dependently and reversibly human and dog platelet aggregation. 125I-fibrinogen binding

to

ADP-activated human gel filtered platelets and isolated GPIIb/IIIa with Ki-values of 9 nM and 0.17 nM, resp. The Et ester prodrug S 5740 showed in conscious dogs a high plasma availability of the active moiety of 42% and a plasma half life of 9.9 h after oral administration as measured by bioassay. Compd. S 5740 may potentially be used for chronic treatment

and

prophylaxis of thrombotic diseases.

- IT 170717-42-7 170717-43-8 177563-42-7
  - 177563-43-8
  - RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
    - (orally active non-peptide fibrinogen receptor antagonist and its prodrug)
- RN 170717-42-7 CAPLUS
- CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (R)- (9CI) (CA INDEX NAME)

$$HO_2C$$
 $S$ 
 $N$ 
 $NH$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 

RN 170717-43-8 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 177563-42-7 CAPLUS

CN L-Serine,

N-[N-[[4-[3-[(aminoiminomethyl)amino]propyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO 
$$CO_2H$$
  $HO_2C$   $S$   $N$   $H$   $O$   $N$   $S$   $CH_2)$   $S$   $N$   $H$   $NH_2$ 

RN 177563-43-8 CAPLUS

CN Glycine,

N-[N-[[4-[3-[(aminoiminomethyl)amino]propyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (S)- (9CI) (CA INDEX NAME)

Searched by John Dantzman

Absolute stereochemistry.

### IT 177563-39-2P 177563-41-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of S 1197, an orally active non-peptide fibrinogen receptor antagonist, and its prodrug S 5740)

RN 177563-39-2 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride,

[S-(R\*,R\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

### ● HCl

RN 177563-41-6 CAPLUS

monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 177563-40-5 CMF C24 H27 N5 O5

Searched by John Dantzman 308-4488

Absolute stereochemistry. Rotation (-).

CM 2

CRN 64-19-7 CMF C2 H4 O2

IT 170565-27-2 170565-36-3 170565-37-4

170565-44-3 170565-45-4 170565-46-5

170565-47-6 170565-51-2 177563-44-9

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(structure activity in discovery of an orally active non-peptide fibrinogen receptor antagonist)

RN 170565-27-2 CAPLUS

CN 1-Naphthalenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 170565-36-3 CAPLUS

CN

3-Pyridinepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} NH \\ \parallel \\ \parallel \\ H_2N-C \\ \hline \\ N \\ O \\ \hline \\ CH_2 \\ C \\ \hline \\ C \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ \\ N \\ \\ \end{array}$$

RN 170565-37-4 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ & \text{Me} \\ & \text{O} \\ & \text{N} \\ & \text{HO}_2\text{C}-\text{CH}_2-\text{CH}-\text{NH}-\text{C}-\text{CH}_2} \\ \end{array}$$

RN 170565-44-3 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[(4R)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

RN 170565-45-4 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-46-5 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

RN 170565-47-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-51-2 CAPLUS

CN 1,3-Benzodioxole-5-propanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 177563-44-9 CAPLUS

Searched by John Dantzman

308-4488

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

#### => d bib abs hitstr 18

L15 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1995:947889 CAPLUS

DN 124:146807

TI Synthesis of

[5-(4-formamidino-benzyl)-2,4-dioxo-imidazolidin-3-yl]-acetyl-L-aspartyl-L-valine

AU Chen, Anli; Chen, Weixin

CS Technische Fachhochschule Darmstadt, Germany

SO Huadong Ligong Daxue Xuebao (1995), 21(4), 481-7 CODEN: HLIXEV

DT Journal

LA Chinese

AB According to the mechanism of the inhibiting action of peptide

Arg-Gly-Asp

on platelet aggregation, the title peptide (I) was designed and synthesized. I showed potent platelet aggregation activity.

IT 150376-03-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis of

(formamidinobenzyl)dioxoimidazolidinylacetylaspartylvalin

RN 150376-03-7 CAPLUS

CN L-Valine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# IT 150376-14-0P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (synthesis of

(formamidinobenzyl)dioxoimidazolidinylacetylaspartylvalin

150376-14-0 CAPLUS

CN L-Valine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

### => d bib abs hitstr 19

```
ANSWER 19 OF 28 CAPLUS COPYRIGHT 2000 ACS
     1995:931237 CAPLUS
ΑN
     123:340969
DN
     Preparation of substituted 5-member-ring heterocyclic-compound blood
TΙ
    platelet aggregation inhibitors and anticancer agents
     Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd; Just, Melitta;
IN
     Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang; Stilz, Hans-Ulrich
     Cassella Aktiengesellschaft, Germany
PA
     PCT Int. Appl., 103 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
     German
FAN.CNT 2
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                            19950526
PI
     WO 9514008
                      A1
                                           WO 1994-EP3491
                                                             19941024
         W: AU, CA, CN, CZ, FI, HU, JP, KR, PL, RU, SK, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                            19950518
                                           DE 1993-4338944 19931115
     DE 4338944
                       A1
     DE 4427979
                       Α1
                            19960215
                                           DE 1994-4427979
                                                             19940808
     AU 9479397
                            19950606
                                           AU 1994-79397
                       Α1
                                                             19941024
     AU 693811
                       B2
                            19980709
     EP 729460
                       A1
                            19960904
                                           EP 1994-930215
                                                             19941024
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
     JP 09505062
                       T2
                            19970520
                                           JP 1994-514176
                                                             19941024
     FI 9602043
                            19960514
                                           FI 1996-2043
                       Α
                                                             19960514
     US 5981492
                            19991109
                                           US 1996-640895
                       Α
                                                             19960719
PRAI DE 1993-4338944
                      19931115
     DE 1994-4427979
                     19940808
     WO 1994-EP3491
                      19941024
OS
    MARPAT 123:340969
GΙ
```

AB The title compds. (I; W,Y,Z,B,D,E, and R, as well as b,c,d,e,f,g, and h are defined in the patent application claims) [e.g., [(R,S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl-L-aspartyl-L-phenylglycine; II], useful as inhibitors of blood platelet aggregation (e.g., II demonstrated a IC50 of 0.05 .mu.M for thrombin-stimulated platelet aggregation), inhibitors of metastasis of Searched by John Dantzman 308-4488

QAZI 08/971960

Page 22

```
cancerous cells, and inhibitors of the attachment of osteoclasts to bone
     surfaces, are prepd.
ΙT
     169807-87-8P 169807-88-9P 169807-89-0P
     169807-90-3P 169807-91-4P 169807-92-5P
     169807-93-6P 169807-94-7P 169807-95-8P
     169807-96-9P 169807-97-0P 169807-98-1P
     169807-99-2P 170565-05-6P 170565-06-7P
     170565-07-8P 170565-08-9P 170565-09-0P
     170565-11-4P 170565-12-5P 170565-13-6P
     170565-14-7P 170565-15-8P 170565-16-9P
     170565-17-0P 170565-18-1P 170565-19-2P
     170565-20-5P 170565-21-6P 170565-22-7P
     170565-23-8P 170565-24-9P 170565-25-0P
     170565-26-1P 170565-27-2P 170565-28-3P
     170565-29-4P 170565-30-7P 170565-31-8P
     170565-32-9P 170565-33-0P 170565-34-1P
     170565-35-2P 170565-36-3P 170565-37-4P
     170565-38-5P 170565-39-6P 170565-40-9P
     170565-41-0P 170565-42-1P 170565-43-2P
     170565-44-3P 170565-45-4P 170565-46-5P
     170565-47-6P 170565-48-7P 170565-49-8P
     170565-50-1P 170565-51-2P 170565-52-3P
     170565-53-4P 170565-54-5P 170717-42-7P
     170717-43-8P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of substituted 5-member-ring heterocyclic-compd. blood
platelet
        aggregation inhibitors and anticancer agents)
     169807-87-8 CAPLUS
     Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-
```

imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA

Absolute stereochemistry.

INDEX NAME)

$$HO_2C$$
 $S$ 
 $NH$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 
 $NH_2$ 

RN 169807-88-9 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

$$HO_2C$$
 $S$ 
 $N$ 
 $NH$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 

169807-89-0 CAPLUS RN

Glycine, CN

N-[N-[4-[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169807-90-3 CAPLUS L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX

NAME)

RN 169807-91-4 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

RN 169807-92-5 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

$$HO_2C$$
  $S$   $H$   $O$   $NH_2$ 

## • HCl

RN 169807-93-6 CAPLUS
CN Glycine, N-[[4-[4-(aminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $S$ 
 $N$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 

RN 169807-94-7 CAPLUS

CN L-Alanine, 3-[[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

RN 169807-95-8 CAPLUS

CN Glycine, N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $S$ 
 $N$ 
 $N$ 
 $NH_2$ 
 $N$ 

RN 169807-96-9 CAPLUS

ester, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 169807-97-0 CAPLUS

CN Glycine,

N-[N-[[4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169807-98-1 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, methyl ester, monohydrochloride

(9CI) (CA INDEX NAME)

#### HC1

RN 169807-99-2 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

#### • HCl

RN 170565-05-6 CAPLUS

CN Glycine,

N-[N-[[4-[4-[(aminoiminomethyl)amino]phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 170565-06-7 CAPLUS

CN L-Phenylalanine,

N-[N-[[4-[4-[[imino[(methoxycarbonyl)amino]methyl]amino]p henyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-07-8 CAPLUS

CN 1-Imidazolidineacetic acid,

4-[4-[(aminoiminomethyl)amino]phenyl]-4-methyl-2,5-dioxo-, 2-(carboxymethyl)-2-[(1,1-dimethylethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 170565-08-9 CAPLUS

CN 1-Imidazolidineacetic acid,

4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]me thyl]amino]phenyl]-4-methyl-2,5-dioxo-, 2-(2-methoxy-2-oxoethyl)-2-[(phenylmethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 170565-09-0 CAPLUS

CN 1-Imidazolidineacetic acid,

RN 170565-11-4 CAPLUS

CN 1-Imidazolidineacetic acid,

4-[[4-(aminoiminomethyl)phenyl]methylene]-2,5-Searched by John Dantzman

308-4488

dioxo-, 1-(carboxymethyl)-2-[(1,1-dimethylethoxy)carbonyl]hydrazide (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\$$

RN 170565-12-5 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, 2-methyl ester, (2S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-13-6 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

RN 170565-14-7 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $S$ 
 $N$ 
 $NH$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 

RN 170565-15-8 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-cyclopropyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-16-9 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-ethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX Searched by John Dantzman 308-4488

NAME)

Absolute stereochemistry.

RN 170565-17-0 CAPLUS

CN Glycine,

N-[N-[[4-[4-(aminoiminomethyl)phenyl]-2,5-dioxo-4-(phenylmethyl)l-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-18-1 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-(1,1-dimethylethyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

RN 170565-19-2 CAPLUS

Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-CN imidazolidinyl]acetyl]amino]-N-(1-oxohexyl)- (9CI) (CA INDEX NAME)

RN 170565-20-5 CAPLUS

CN Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1imidazolidinyl]acetyl]amino]-N-(1-oxohexyl)- (9CI) (CA INDEX NAME)

RN 170565-21-6 CAPLUS

CN Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1imidazolidinyl]acetyl]amino]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

RN 170565-22-7 CAPLUS

CN Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)

RN 170565-23-8 CAPLUS

CN Alanine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-3-[[[(phenylamino)carbonyl]amino]sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 170565-24-9 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH \\ H_2N-C \\ \hline \\ Me \\ N \\ \hline \\ CH_2 \\ \hline \\ C=0 \\ \hline \\ NH \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ HO \\ \hline \\ OMe \\ \end{array}$$

RN 170565-25-0 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-hydroxy-3-methoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c} NH \\ H_2N-C \\ \hline \\ Me \\ \hline \\ CH_2 \\ \hline \\ C-O \\ \hline \\ NH \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ MeO \\ OH \\ \end{array}$$

RN 170565-26-1 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-ethoxy- (9CI) (CA INDEX NAME) Searched by John Dantzman 308-4488

$$\begin{array}{c} \text{NH} \\ \text{H}_2\text{N-C} \\ \text{C} \\ \text{Me} \\ \text{N} \\ \text{O} \\ \text{CH}_2 \\ \text{C} \\ \text{C} \\ \text{O} \\ \text{NH} \\ \text{CH-CH}_2\text{-CO}_2\text{H} \\ \\ \text{OEt} \\ \end{array}$$

RN 170565-27-2 CAPLUS

CN 1-Naphthalenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

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Searched by John Dantzman

308-4488

PAGE 2-A

RN 170565-28-3 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} NH \\ || \\ || \\ H_2N-C \\ \hline \\ N \\ O \\ \hline \\ CH_2 \\ \hline \\ C \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ O_2N \\ \end{array}$$

RN 170565-29-4 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-carboxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \text{H}_2\text{N-C} \\ \text{C} \\ \text{Me} \\ \text{N} \\ \text{O} \\ \text{CH}_2 \\ \text{C} \\ \text{C} \\ \text{O} \\ \text{NH} \\ \text{CH-CH}_2 \\ \text{CO}_2\text{H} \\ \end{array}$$

RN 170565-30-7 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH \\ H_2N-C \\ \hline \\ Me \\ N \\ \hline \\ CH_2 \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ Ph-CH_2-O \\ \end{array}$$

RN 170565-31-8 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3-carboxy-(9CI) (CA INDEX NAME)

Searched by John Dantzman

308-4488

RN 170565-32-9 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3-phenoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & & \\ H_2N-C & & Me & H & \\ N & & O & \\ \hline & CH_2 & & \\ \hline & C-O & \\ NH & & \\ \hline & CH-CH_2-CO_2H \\ \end{array}$$

RN 170565-33-0 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 170565-34-1 CAPLUS
CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)

RN 170565-35-2 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid,
.beta.-[[[4-[4-(amin**SémiohmedtbylJphen**Pahtzman

308-4488

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} NH \\ || \\ H_2N-C \\ \hline \\ Me \\ N \\ CH_2 \\ | \\ C \\ \hline \\ CH-CH_2-CO_2H \\ \hline \\ Ph \\ \end{array}$$

RN 170565-36-3 CAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 170565-37-4 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

Searched by John Dantzman 308-4488

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RN 170565-38-5 CAPLUS

CN Cyclohexanepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 170565-39-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 170565-40-9 CAPLUS

Searched by John Dantzman

308-4488

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 170565-41-0 CAPLUS
CN Benzenepropanoic acid,
.beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 170565-42-1 CAPLUS
CN Benzenepropanoic acid,
.beta.-[[[4-[4-(aminoiminomethyl)phenyl]-3-ethyl-4 methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester,
 monohydrochloride (9CI) (CA INDEX NAME)

## HCl

170565-43-2 CAPLUS RN

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

## HCl

RN170565-44-3 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[(4R)-4-[4-(aminoiminomethyl)phenyl]-4methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

$$HO_2C$$
 $S$ 
 $NH$ 
 $NH_2$ 
 $NH_2$ 

RN 170565-45-4 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-46-5 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

RN 170565-47-6 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 170565-48-7 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, 1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 170565-49-8 CAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]methylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} NH \\ || \\ || \\ H_2N-C \end{array} \qquad \begin{array}{c} Me \\ H \\ N \\ O \end{array}$$

RN 170565-50-1 CAPLUS

CN Benzenepropanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]methylamino]- (9CI) (CA INDEX NAME)

RN 170565-51-2 CAPLUS

CN 1,3-Benzodioxole-5-propanoic acid, .beta.-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]- (9CI) (CA INDEX NAME)

RN 170565-52-3 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-oxo-4-(tricyclo[3.3.1.13,7]dec-1-ylamino)-(9CI) (CA INDEX NAME)

RN 170565-53-4 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-oxo-4-(tricyclo[3.3.1.13,7]dec-2-ylamino)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH \\ H_2N-C \\ \hline \\ Me \\ \hline \\ N-CH_2-C-NH-CH-C-NH \\ \hline \\ O \\ O \\ \end{array}$$

RN 170565-54-5 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-oxo-4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 170717-42-7 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $S$ 
 $NH$ 
 $NH_2$ 
 $HO_2C$ 
 $S$ 
 $N$ 
 $H$ 
 $NH_2$ 
 $NH_2$ 

RN 170717-43-8 CAPLUS

CN Glycine, N-[N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ \text{HO}_2\text{C} & & & \\ & & & \\ \text{Ph} & & \\ & & & \\ \text{HO}_2\text{C} & & \\$$

## IT 170564-93-9 170564-96-2

RL: RCT (Reactant)

(prepn. of substituted 5-member-ring heterocyclic-compd. blood platelet  $% \left( 1\right) =\left( 1\right) +\left( 1\right) +\left($ 

aggregation inhibitors and anticancer agents from)

RN 170564-93-9 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-

## • HCl

RN 170564-96-2 CAPLUS

CN Butanoic acid, 3-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-oxo-4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

## • HCl

IT 169808-02-0P 169808-03-1P 169808-05-3P 169808-10-0P 169808-22-4P 169808-23-5P 169808-24-6P 170565-02-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of substituted 5-member-ring heterocyclic-compd. blood platelet

aggregation inhibitors and anticancer agents from)

RN 169808-02-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## • HCl

RN 169808-03-1 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## HC1

RN 169808-05-3 CAPLUS

CN L-Alanine, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ● 2 HCl

RN 169808-10-0 CAPLUS

CN Glycine, N-[[4-[4-(aminoiminomethyl)phenyl]-3,4-dimethyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-2-phenyl-, bis(1,1-dimethylethyl) ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME) Searched by John Dantzman 308-4488

Absolute stereochemistry.

• HCl

RN 169808-22-4 CAPLUS

CN Glycine,

4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169808-23-5 CAPLUS

CN L-Alanine, 3-[[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-N-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169808-24-6 CAPLUS

CN Glycine,

N-[N-[[4-[4-[[imino[[(phenylmethoxy)carbonyl]amino]methyl]amino]p

henyl]-4-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

\_\_ Ph

RN 170565-02-3 CAPLUS

CN Butanoic acid, 3-[[[4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxo-1-

• HCl

### => d bib abs hitstr 21

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2000 ACS L15 1994:580236 CAPLUS ΑN DN 121:180236 Preparation of imidazolidin derivatives as blood platelet aggregation ΤI inhibitors IN Zoller, Gerhard; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang PΑ Cassella Aktiengesellschaft, Germany SO Eur. Pat. Appl., 21 pp. CODEN: EPXXDW DT Patent LA German FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ PΙ EP 584694 Α1 19940302 EP 1993-113143 19930817 EP 584694 В1 19980121 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE DE 4228717 Α1 19940303 DE 1992-4228717 19920828 JP 07053590 A2 19950228 JP 1993-163037 19930701

AT 1993-113143

ES 1993-113143

AU 1993-44914

ZA 1993-6306

HU 1993-2439

US 1995-456066

CA 1993-2105014

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US 5554594 A 19960910 PRAI DE 1992-4228717 19920828 US 1993-98123 19930727

AT 162531

ES 2112361

CA 2105014

AU 9344914

AU 663213

ZA 9306306

HU 65552

OS CASREACT 121:180236; MARPAT 121:180236

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19950928

19940628

19940322

GΙ

AΒ Title compds. I [R = H, Cl-6 alkyl; R1 = (CH2)n-NH-X, etc.; n = 1-6Searched by John Dantzman 308-4488

integer; X = H, C1-6 alkyl, C1-6 alkoxycarbonyl, etc.; Y = Q; r = 0-3, WOH, C1-28 alkyl, arylalkoxy, aryloxy, amino, mono- or dialkylamino; Z = 0, S; R2 = H, C1-6 alkyl; R3 = O, phenyl; R4 = CO2-R5, CO-NMe-R5, CO-NH-R5; R5 = C1-28 alkyl, etc.], useful as blood platelet aggregation inhibitors (no data), are prepd. via condensation of the benzoic acid deriv. II with the amine III. E.g., condensation of N, N. omega. bis(benzyloxycarbonyl)ornithine benzyl ester hydrochloride with Et m-isocyanatobenzoate in DMF contg. N-ethylmorpholine gave N-(S)-[(benzyloxycarbonylamino)[3-(benzyloxycarbonylamino)propyl]methyl]-N'-(3-ethoxycarbonylphenyl)urea, which was cyclized in aq. HCl at refluxing temp. to give 3-[5-(S)-(3-aminopropyl)-2,4-dioxoimidazolidin-3yl]benzoic acid hydrochloride, which was N-acylated with ClCO2Me and the product was coupled with Me-O2C-CH2-CH(NH2)-CO-Phg-OMe trifluoroacetate [Phg = phenylglycine residue] to give I [R = R2 = R3 = H, R1 = (CH2)3-NHCO-OMe, R4 = CO-NH-CHPh-CO2Me, Y = m-Q, Z = O, W = MeO, r = 1]. ΙT 157701-97-8P 157701-98-9P 157702-00-6P 157702-01-7P 157702-05-1P 157702-06-2P 157702-08-4P 157702-09-5P 157702-10-8P 157702-11-9P 157702-12-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as blood platelet aggregation inhibitor) RN157701-97-8 CAPLUS CN Glycine, N-[N-[3-[4-[3-[(methoxycarbonyl)amino]propyl]-2,5-dioxo-1imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, (S) - (9CI) (CA INDEX NAME)

RN 157701-98-9 CAPLUS
CN Glycine,
N-[N-[3-[4-(3-aminopropyl)-2,5-dioxo-1-imidazolidinyl]benzoyl]-L.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

RN 157702-00-6 CAPLUS

CN Glycine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, 1-(1,1-dimethylethyl) 4-(1-methylethyl) ester, monoacetate (9CI) (CFINDEX NAME)

CM 1

CRN 157701-99-0 CMF C32 H40 N6 O8 CDES 5:L,L

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 157702-01-7 CAPLUS

CN Glycine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, 4-(1-methylethyl) ester (9CI) (CA INDEX NAME)

Searched by John Dantzman 308-4488

RN 157702-05-1 CAPLUS

CN Glycine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, 1-(1-methylethyl) ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 157702-04-0 CMF C28 H32 N6 O8 CDES 5:L,L

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 157702-06-2 CAPLUS

CN Glycine, N-[N-[3-[4-[3-[[[1-(acetyloxy)ethoxy]carbonyl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

RN 157702-08-4 CAPLUS

CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, (S)- (9CI) (CA INDEX NAME)

RN 157702-09-5 CAPLUS

CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)

RN 157702-10-8 CAPLUS

CN Glycine, N-[N-[3-[4-[[(aminoiminomethyl)amino]methyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)

RN 157702-11-9 CAPLUS

CN Glycine, N-[N-[3-[4-[[[imino[(methoxycarbonyl)amino]methyl]amino]methyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)

RN 157702-12-0 CAPLUS

CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

IT 157702-14-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for blood platelet aggregation inhibitors)

RN 157702-14-2 CAPLUS

CN Glycine, N-[N-[3-[4-[3-[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)

### => d bib abs hitstr 23

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L15 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2000 ACS
```

1994:271190 CAPLUS AN

DN 120:271190

Preparation of dioxoimidazolidinylacetylpeptides and related compounds as ΤI inhibitors of thrombocyte aggregation, tumor cell metastasis, and binding of osteoclasts to bone surfaces

Zoller, Gerhard; Just, Melitta; Jablonka, Bernd; Koenig, Wolfgang; Knolle,

Jochen

PΑ Cassella A.-G., Germany

Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DTPatent

LA German

FAN.CNT 1

	PATENT N	١٥.	KIND	DATE		APPLICATION NO.	DATE
ΡI	EP 56691	19	A1	19931027		EP 1993-105575	19930403
	R:	AT, BE,	CH, DE,	DK, ES,	FR,	GB, IT, LI, NL, SE	
	DE 42136	634	A1	19931028		DE 1992-4213634	19920424
	US 53977	796	Α	19950314		US 1993-45994	19930412
	CA 20947	773	AA	19931025		CA 1993-2094773	19930423
	JP 06116	6245	A2	19940426	-	JP 1993-98098	19930423
	IL 10551	11	A1	19970218		IL 1993-105511	19930423
	AU 93382	203	. A1	19931028		AU 1993-38203	19930428
	AU 66506	58	B2	19951214			
PRAI	DE 1992-	-4213634	199204	124			
os	MARPAT 1	120:2711	90				

GΙ

AΒ Title compds. [I; Y = (CH2)mCO, Q1; m = 1-4; R1 = (CH2)nNHX, (CH2)pC6H4NHX, :CHC6H4X1, etc.; n = 1-6; p = 1, 2; X = H, alkyl, etc; X1 =

NHX, CH2NHX, C(:NH)NH2; R2 = H, alky1; R3 = H, Ph; R4 = CO2R5, CONMeR5, CONHR5; R5 = alkyl substituted by aryl, heterocyclyl, amino, amino acid side chain, dipeptide residue, etc.], were prepd. Thus,

[(5S)-(3-guanidinopropyl)-2,4-dioxoimidazolin-3-yl]acetic acid was

with H-Asp(OtBu)-Phe-NH(CH2)4NHBOC.HCl (prepn. given) using DCC/hydroxybenzotriazole in DMF (76%) followed by deprotection with HF and

chromatog. (90%) to give N-[[(5S)-(3-guanidinsprophed-By4JdhoxDantdmanlin- 308-4488

```
3-yl]acetyl]aspartylphenylalanine 4-aminobutyl amide acetate. This
     inhibited thrombin-stimulated aggregation of human thrombocytes with IC50
     = 2.0 .mu.M. Generic I drug formulations are given.
IT
     154163-65-2P 154163-67-4P 154163-69-6P
     154163-70-9P 154163-71-0P 154163-72-1P
     154204-29-2P 154204-31-6P 154566-87-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as inhibitor of thrombocyte aggregation, tumor cell
        metastasis, and binding of osteoclasts to bone surfaces)
RN
     154163-65-2 CAPLUS
     L-Phenylalaninamide,
N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-
     imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(4-aminobutyl)-, (S)-,
acetate
     (9CI)
            (CA INDEX NAME)
     CM
          1
     CRN 154163-64-1
     CMF C26 H39 N9 07
     CDES *
                            (CH_2)_3 - NH - C - NH_2
HO2C-CH2-CH-NH-C-CH2
            -NH-CH-C-NH-(CH_2)_4-NH_2
             Ph-CH<sub>2</sub> O
     CM
          2
     CRN
          64-19-7
     CMF
          C2 H4 O2
HO-C-CH3
     154163-67-4 CAPLUS
     L-Phenylalaninamide,
N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-
     imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(6-aminohexyl)-, (S)-,
     (9CI) (CA INDEX NAME)
     CM
          1
```

CRN 154163-66-3 CMF C28 H43 N9 O7 CDES \*

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 154163-69-6 CAPLUS CN L-Phenylalaninamide,

N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxol-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(4-aminobutyl)-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 154163-68-5 CMF C30 H38 N8 O7 CDES 5:L,L

CM 2

Searched by John Dantzman

CRN 64-19-7 CMF C2 H4 O2

RN 154163-70-9 CAPLUS

CN L-Lysinamide, N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(4-aminobutyl)- (9CI) (CA INDEX NAME)

RN 154163-71-0 CAPLUS

CN L-Valinamide, N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(4-aminobutyl)- (9CI) (CA INDEX NAME)

RN 154163-72-1 CAPLUS

CN Glycinamide, N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(4-aminobutyl)-L-2-phenyl-(9CI) (CA INDEX NAME)

RN 154204-29-2 CAPLUS

Searched by John Dantzman

```
CN L-Phenylalaninamide,
N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-
l-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(6-aminohexyl)-, acetate
(9CI) (CA INDEX NAME)

CM 1

CRN 154204-28-1
CMF C32 H42 N8 O7
CDES 5:L,L
```

CM 2

CRN 64-19-7 CMF C2 H4 O2

CRN 154204-30-5 CMF C34 H46 N8 O7 CDES 5:L,L

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 154566-87-7 CAPLUS

CN L-Phenylalaninamide,

N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N-(8-aminooctyl)-, (S)-, acetate

(9CI) (CA INDEX NAME)

CM 1

CRN 154566-86-6 CMF C30 H47 N9 O7 CDES \*

CM 2

CRN 64-19-7 CMF C2 H4 O2

Searched by John Dantzman

## IT 154163-76-5P 154163-78-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for inhibitor of thrombocyte aggregation, tumor cell metastasis, and binding of osteoclasts to bone surfaces)

RN 154163-76-5 CAPLUS

CN L-Phenylalaninamide,

(CA INDEX NAME)

RN 154163-78-7 CAPLUS

CN L-Lysinamide, N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl-N6-[(1,1-

### => d bib abs hitstr 24

L15 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1993:581238 CAPLUS

DN 119:181238

TI Preparation of peptide hydantoin derivatives as drugs

IN Koenig, Wolfgang; Zoller, Gerhard; Just, Melitta; Jablonka, Bernd

PA Cassella AG, Germany

SO Ger. Offen., 17 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.CNT 1 PATENT NO.		KTND	DATE	APPLICATION NO.	DATE
TAILMI NO.					
PI	DE 4126277	A1	19930211	DE 1991-4126277	19910808
	EP 530505	A2	19930310	EP 1992-113086	19920731
	EP 530505	А3	19931229		
	EP 530505	В1	19951011		
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE
	AT 128985	Ē	19951015		
	ES 2081000	Т3	19960216	ES 1992-113086	19920731
	US 5389614	Α	19950214	US 1992-924745	19920804
	CA 2075590	AA	19930209	CA 1992-2075590	19920807
	ни 61779	A2	19930301	HU 1992-2583	19920807
	ZA 9205934	Α	19930428	ZA 1992-5934	19920807
	JP 05213895	A2	19930824	JP 1992-211801	19920807
	AU 651716	B2	19940728	AU 1992-20892	19920807
	AU 9220892	A1	19930311		
	IL 102759	A1	19970610	IL 1992-102759	19920807
PRAI	DE 1991-4126277	19910	808 .	•	
os	MARPAT 119:1812	38	•		
GI					

Title compds. [I; Y = (CH2)mCO, C6H4CO; m = 1-4; R1 = (CH2)nNHX, CH2C6H4NHX, CH2C6H4C(:NH)NH2, CH2C6H4CH2NHX, C6H4NHX; R1CH may also = X1C6H4CH:C; n = 3-5; X = H, alkyl, R10NHC:NR11; X1 = NHX, C(:NH)NH2; R10, R11 = H, alkyl; R2 = H, alkyl; R3 = H, Ph; R4 = H, CO2R5, CONHR5; R5 = H, NHCONH2, (substituted) alkyl], were prepd. as inhibitors of thrombocyte aggregation, metastasis, and of osteoclast binding to bone surfaces (no data). Thus, [5(R,S)-(4-formamidobenzyl)-2,4-dioxoimidazolidin-3-yl]acetylaspartylvaline was prepd. in 5 steps starting with 4-formamidino-DL-phenylalanine dihydrochloride.

IT 150376-14-0P 150376-18-4P 150376-22-0P

Ι

Searched by John Dantzman

Absolute stereochemistry.

RN 150376-18-4 CAPLUS
CN L-Valine,
N-[N-[[4-[3-[(aminoiminomethyl)amino]propyl]-3-methyl-2,5-dioxol-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl)

ester, (S)- (9CI) (CA INDEX NAME)

RN 150376-22-0 CAPLUS
CN L-Valine, N-[N-[[4-[4-[(1,1-dimethylethoxy)carbonyl]amino]butyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

Searched by John Dantzman

RN 150376-23-1 CAPLUS

CN .beta.-Alanine, N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1imidazolidinyl]acetyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RŃ 150376-24-2 CAPLUS

CN Glycine, N-[N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-L-2-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

150376-25-3 CAPLUS RN

.beta.-Alanine, N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-CN imidazolidinyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & \\ & & & \\$$

RN 150376-28-6 CAPLUS

CN L-Valine, N-[N-[[4-[(4-nitrophenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester,

(S) - (9CI) (CA INDEX NAME)

RN 150376-29-7 CAPLUS

CN L-Valine, N-[N-[[4-[(4-nitrophenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

RN 150376-33-3 CAPLUS

CN L-Valine,

N-[N-[[4-[[imino(nitroamino)methyl]amino]phenyl]methyl]-2,5-dioxo-l-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

RN 150376-34-4 CAPLUS

CN L-Valine,

N-[N-[[4-[[imino(nitroamino)methyl]amino]phenyl]methyl]-2,5dioxo-l-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX

NAME)

RN 150376-38-8 CAPLUS

CN L-Valine, N-[N-[[4-[(4-cyanophenyl)methylene]-3-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 150376-39-9 CAPLUS

CN L-Valine, N-[N-[[4-[(4-cyanophenyl)methylene]-3-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Searched by John Dantzman

Double bond geometry unknown.

RN 150376-42-4 CAPLUS

CN L-Valine, N-[N-[[4-[(4-cyanophenyl)methylene]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 150376-43-5 CAPLUS

CN L-Valine, N-[N-[[4-[(4-cyanophenyl)methylene]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 150376-46-8 CAPLUS

CN L-Valine,

N-[N-[3-[4-[3-[[imino(nitroamino)methyl]amino]propyl]-2,5-dioxol-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)

RN 150376-47-9 CAPLUS

CN L-Valine,

N-[N-[3-[4-[3-[[imino(nitroamino)methyl]amino]propyl]-2,5-dioxol-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

IT 150376-03-7P 150376-04-8P 150376-05-9P

150376-06-0P 150376-07-1P 150376-08-2P

150376-09-3P 150376-10-6P 150376-11-7P

150391-41-6P 150391-42-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as inhibitor of thrombocyte aggregation, metastasis, and

osteoclast binding to bone surfaces)

RN 150376-03-7 CAPLUS

CN L-Valine, N-[N-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150376-04-8 CAPLUS

CN L-Valine, N-[N-[[4-(4-aminobutyl)-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

RN 150376-05-9 CAPLUS

CN .beta.-Alanine, N-[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150376-06-0 CAPLUS

CN Glycine, N-[N-[[4-([4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-alpha.-aspartyl]-L-2-phenyl- (9CI) (CA INDEX NAME)

RN 150376-07-1 CAPLUS

CN .beta.-Alanine, N-[[4-[[4-(aminoiminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \\ & \text{NH} \\ & \text{C-NH}_2 \\ \\ & \text{O} & \text{N} \\ & \text{C} \\ & \text{NH}_2 \\ \\ & \text{C-NH}_2 \\ \\ & \text{HO}_2\text{C-CH}_2\text{-CH}_2\text{-NH-C-CH}_2 \\ \end{array}$$

RN 150376-08-2 CAPLUS

CN L-Valine, N-[N-[[4-[(4-aminophenyl)methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

RN 150376-09-3 CAPLUS

CN L-Valine,

N-[N-[[4-[[4-[(aminoiminomethyl)amino]phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

RN 150376-10-6 CAPLUS

CN L-Valine, N-[N-[[4-[[4-(aminomethyl)phenyl]methyl]-2,5-dioxo-1-imidazolidinyl]acetyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Searched by John Dantzman 3

RN 150376-11-7 CAPLUS

CN L-Valine, N-[N-[3-[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-.alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME)

RN 150391-41-6 CAPLUS

CN L-Valine,

 $\begin{tabular}{ll} N-[N-[[4-[3-[(aminoiminomethyl)amino]propyl]-3-methyl-2,5-dioxolimidazolidinyl]acetyl]-L-alpha.-aspartyl]-, (S)- (9CI) (CA INDEX NAME) \\ \end{tabular}$ 

RN 150391-42-7 CAPLUS

CN L-Valine, N-[[4-[[4-(aminomethyl)phenyl]methyl]-3-methyl-2,5-dioxo-1-imidazolidinyl]acetyl]-L-alpha.-aspartyl- (9CI) (CA INDEX NAME)

### => d bib abs hitstr 25

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L15 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2000 ACS
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AN 1992:106788 CAPLUS

DN 116:106788

TI Preparation of (2,4-dioxoimidazolidin-3-yl)acetylaspartic acid amides as thrombocyte aggregation inhibitors

IN Koenig, Wolfgang; Just, Melitta; Jablonka, Bernd

PA Hoechst A.-G., Germany

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

GI

FAN.CNT I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	DE 4009506	A1	19910926	DE 1990-4009506	19900324	
	EP 449079	A2	19911002	EP 1991-104195	19910319	
	EP 449079	<b>A</b> 3	19920826			
	EP 449079	В1	19951115			
	R: BE, DE,	DK, ES,	, FR, GB, GR,	IT, LU, NL		
	ES 2082026	Т3	19960316	ES 1991-104195	19910319	
	NO 9101158	Α	19910925	NO 1991-1158	19910322	
	CA 2038848	AA	19910925	CA 1991-2038848	19910322	
	AU 9173653	A1	19911003	AU 1991-73653	19910322	
	AU 634039	B2	19930211			
	BR 9101121	Α	19911105	BR 1991-1121	19910322	
	ZA 9102178	Α	19911224	ZA 1991-2178	19910322	
	JP 04217962	A2	19920807	JP 1991-81158	19910322	
	IL 97657	· A1	19950831	IL 1991-97657	19910322	
	US 5686421	Α	19971111	US 1995-467526	19950606	
PRAI	DE 1990-4009506	199003	324			
	US 1991-673512	199103	322			
os	MARPAT 116:106788					

$$\begin{array}{c|c} & & & \text{CH}_2\text{CO}_2\text{H} \\ & & & \text{NCH}_2\text{CONHCHCONHR}^2 \\ & & & \text{O} \end{array}$$

AB Title compds. [I; n = 3,4; R1 = alkyl, R3NH(R4N:)C; R3,R4 = H, alkyl; R2

H, NHCONH2, (substituted) alkyl, amino, amino acid residue, OH, SH, etc.],

Ι

were prepd. as thrombocyte aggregation inhibitors (no data). Thus, [5-(3-guanidinopropyl)-2,4-dioxoimidazolidin-3-yl]acetyl-L-aspartic acid 4,4'-dimethoxybenzhydrylamide was prepd. by soln. phase methods starting from Z-Asp(OCMe3)-OH.

Searched by John Dantzman 308-4488

## IT 139113-85-2P 139113-86-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as thrombocyte aggregation inhibitor)

RN 139113-85-2 CAPLUS

CN Butanoic acid, 3-[[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-[[bis(4-methoxyphenyl)methyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 139113-86-3 CAPLUS

CN Butanoic acid, 4-amino-3-[[[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]acetyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

### => d bib abs hitstr 26

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ANSWER 26 OF 28 CAPLUS COPYRIGHT 2000 ACS
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1985:615779 CAPLUS AN

103:215779 DN

ΤI Cleavage of Z-group and formation of hydantoin during alkaline saponification of Z-peptide esters

Schwenzer, B.; Weber, E.; Losse, G. ΑU

Sekt. Chem., Tech. Univ. Dresden, Dresden, DDR-8027, Ger. Dem. Rep. CS

J. Prakt. Chem. (1985), 327(3), 479-86 SO CODEN: JPCEAO; ISSN: 0021-8383

DTJournal

LA German

OS CASREACT 103:215779

GI

During the sapon. of Z-Arg(NO2)-Gly-Phe-Phe-OR (I; Z = PhCH2O2C, R = Me) AΒ (II) by ag. NaOH, the Z group was cleaved and substantial amts. of hydantoin III was formed as a byproduct. III was identified by 13C NMR spectroscopy. This undesired side reaction was suppressed by the addn. of

benzyl alc. Thus, the sapon. of II for 1 h in the presence of 50 equivs. of benzyl alc. gave 48% acid I (R = H) without formation of III.

99260-32-9P ΙT

> RL: FORM (Formation, nonpreparative); PREP (Preparation) (formation of, during sapon. of benzyloxycarbonyl tetrapeptide Me ester)

RN 99260-32-9 CAPLUS

L-Phenylalanine, N-[N-[[4-[3-[[imino(nitroamino)methyl]amino]propyl]-2,5-CN dioxo-1-imidazolidinyl]acetyl]-L-phenylalanyl]-, (S)- (9CI) (CA INDEX NAME)

# => d bib abs hitstr 27

GI

L15 AN DN TI IN PA SO	N 1984:112180 CAPLUS N 100:112180 I Silver halide color photographic light-sensitive materials N Sesaki, Noboru; Sakanoue, Kei; Ichijima, Seiji; Kobayashi, Hidetoshi; Adachi, Keiichi A Fuji Photo Film Co., Ltd., Japan						
$\mathtt{DT}$	Patent						
LA	English					•	
FAN.	CNT 1						
	PATENT NO.	KIND	DATE	APP	LICATION NO.	DATE	
ΡI	EP 96873	A2	19831228	EP	1983-105722	19830610	
	EP 96873	А3	19840307				
	EP 96873	В1	19871202				
	R: DE, FR,	GB					
	JP 58217932	A2	19831219	JP	1982-101226	19820611	
	JP 63044218	B4	19880902				
	CA 1248803	A1	19890117	CA	1983-430151	19830610	
	US 4975359				1989-349457	19890509	
PRAI	JP 1982-101226	19820	611				
	US 1983-503440	19830	613				
	US 1984-679740	19841	210				
	US 1987-42557						

Searched by John Dantzman

308-4488

II

AB Photog. material providing images of high granularity and sharpness contains a combination of a nondiffusing coupler and a DIR compd. releasing a diffusing development inhibitor or its precursor through a coupling reaction. These compds. can be situated in the same layer or a plurality of layers which are sensitive to the same color. Thus, a multilayer color photog. material was prepd. contg. a cellulose triacetate

support, an antihalation layer, a gelatin interlayer, a first red-sensitive Ag(Br,I) emulsion (5 mol % AgI) contg. coupler I 0.01, DIR compd. 0.0006 mol/mol Ag, a second red-sensitive Ag(Br,I) emulsion (7 mol % AgI), an intermediate layer, first and second green-sensitive emulsion layers, a yellow filter layer, and first and second blue-sensitive emulsion layers. The element was exposed, processed in a developer contg.

4-(N-ethyl-N-.beta.-hydroxyethylamino)-2-methylaniline sulfate, bleached, fixed, and stabilized to give a cyan image with RMS granularity (D = fog

0.3) of 0.015 and a MTF value of GL at frequency 10/mm of 1.05. IT 88935-39-1

RL: USES (Uses)

(photog. color multilayer material providing images of high granularity

and sharpness contg. nondiffusing color coupler and)

RN 88935-39-1 CAPLUS

CN Carbamothioic acid,

[[1-[1-[[5-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-

oxobutyl]amino]-2-chlorophenyl]amino]carbonyl]-3,3-dimethyl-2-oxobutyl]-3 methyl-2,5-dioxo-4-imidazolidinyl]methyl]propyl-,
S-(1-phenyl-1H-tetrazol-

5-yl) ester (9CI) (CA INDEX NAME)

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PAGE 1-B

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L15 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2000 ACS

AN 1978:597923 CAPLUS

DN 89:197923

TI N-Terminal cyclization of peptides with N,N'-carbonyldiimidazole or N,N'-thiocarbonyldiimidazole

AU Esser, Franz; Roos, Otto

CS C. H. Boehringer Sohn Abt. Pharmachem., Ingelheim, Ger.

SO Angew. Chem. (1978), 90(6), 495-6 CODEN: ANCEAD; ISSN: 0044-8249

DT Journal

LA German

GΙ

IT

V

Peptides H2NCHRCONHCHR1COR2 (I; R = L-CH2CO2CH2Ph, H, D- and L-3-indolylmethyl; R1 = L-CH2Ph, L-3-indolylmethyl, L-CH2CHMe2; R2 = NH2, Met-Gly-NH2, Asp-Phe-NH2) were cyclized by N,N'-carbonyldimidazole (II) to give the corresponding hydantoins III, whereas I (R = L-3-indolylmethyl, R1 = L-CH2CHMe2, R2 = Asp-Phe-NH2) was cyclized by N,N'-thiocarbonyldimidazole to give thiohydantoin IV. H-.beta.-Ala-Phe-NH2 was cyclized by II to give pyrimidinedione V.

IV

RN 66610-80-8 CAPLUS

CN L-Phenylalaninamide, N-[2-[4-(1H-indol-3-ylmethyl)-2,5-dioxo-1-imidazolidinyl]-4-methyl-1-oxopentyl]-L-.alpha.-aspartyl-, [S-(R\*,S\*)]-(9CI) (CA INDEX NAME)

RN 66674-35-9 CAPLUS

CN L-Phenylalaninamide, N-[2-[4-(1H-indol-3-ylmethyl)-2,5-dioxo-1-imidazolidinyl]-4-methyl-1-oxopentyl]-L-.alpha.-aspartyl-, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)